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DATE: Thursday, March 25, 2004

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☐	L5	phosphocholine same propofol	2
☐	L4	profofol	0
☐	L3	phosphocholine same profofol	0
☐	L2	phosphocholine same (taxane or taxol or paclitaxel)	7
☐	L1	phosphocholine adj5 (taxane or taxol or paclitaxel)	1

END OF SEARCH HISTORY

L2: Entry 5 of 7 File: USPT Jul 9, 1996

DOCUMENT-IDENTIFIER: US 5534499 A
TITLE: Lipophilic drug derivatives for use in liposomes

Brief Summary Text (27):
In one group of embodiments, the compound is of Formula I where A is a phosphocholine radical, a phosphoserine radical, a phosphoethanolamine radical, a phosphoglycerol radical or a phosphoinositol radical. In some further preferred embodiments, m is 0, X.sup.1 is alkyl and Z.sup.2 is taxol, doxorubicin or podophyllotoxin. In other further preferred embodiments, n is 0, X.sup.2 is alkyl and Z.sup.1 is taxol, doxorubicin or podophyllotoxin.

Brief Summary Text (30):
In yet another group of embodiments, the compound is of Formula II where A is a hydrogen, --O--glucose, --O--galactose, --O--oligosaccharide, phosphocholine radical, a phosphoserine radical, a phosphoethanolamine radical, a phosphoglycerol radical or a phosphoinositol radical. In some further preferred embodiments, m is 0, X.sup.1 is alkyl and Z.sup.2 is taxol, doxorubicin or podophyllotoxin. In other further preferred embodiments, n is 0, X.sup.2 is alkyl and Z.sup.1 is taxol, doxorubicin or podophyllotoxin.

Brief Summary Text (32):
In one embodiment, compounds of formula I wherein A is a phosphocholine radical can be prepared beginning with the corresponding commercially available lysophosphatidylcholines of formula III. ##STR4## One of skill in the art can appreciate that other lysophosphatidyl compounds can be used as starting materials, including suitably protected lysophosphatidylethanolamine, lysophosphatidylglycerol, lysophosphatidylinositol and lysophosphatidylserine derivatives. In formula III, RC(O)-- is a fatty acid radical which is typically lauroyl, myristoyl, palmitoyl, stearoyl, or oleoyl. Treatment of the lysophosphatidylcholine with a protected .omega.-aminoaikanoic acid in the presence of a coupling agent such as DCC, and subsequent removal of the protecting group provides a compound of formula IV. ##STR5## A number of protected and unprotected .omega.-aminoalkanoic acids are commercially available and can be used to prepare the compounds of the present invention. Examples of these amino acids are N-t-BOC-7-aminoheptanoic acid, N-t-BOC-6-aminohexanoic acid and 11-aminoundecanoic acid. Where the starting material is an unprotected amino acid, the amine functionality will typically be protected prior to further reactions. The nature of the protecting group in not critical but will be selected depending on conditions required for its attachment as well as for its removal. A preferred protecting group for amines is the tert-butoxycarbonyl group (BOC). This group can be attached to an amine using commercially available reagents such as di-t-butylpyrocarbonate and BOC-On. Examples of other suitable protecting groups can be found in Greene and Wuts, Protecting Groups in Organic Synthesis, Wiley-Interscience, Second Edition, (1991), incorporated herein by reference. After coupling the protected .omega.-amino acid to the lysophosphatidylcholine and removal of the protecting group, the primary amine will be acylated with a drug or a drug derivative. The nature of the drug derivative is not critical but will typically be a drug having an attached linking group such as a dicarboxylic acid. Reaction of a suitable drug having a reactive functionality (i.e., --OH) with a lower molecular weight dicarboxylic acid anhydride provides a drug having a

tethered carboxylic acid. When the reactive functionality present on the drug is amino (--NH.sub.2), reaction with cis-aconitic anhydride provides a drug having a suitable tethered carboxylic acid. A preferred drug derivative is taxol-2'-succinate (available from the treatment of taxol with succinic anhydride) which provides a compound of formula V. ##STR6##

L2: Entry 1 of 7 File: USPT Dec 3, 2002

DOCUMENT-IDENTIFIER: US 6489369 B1
TITLE: Phosphocholine surfactants and their use

Brief Summary Text (13):
It is an object of the present invention to provide compositions of matter which substantially increase the aqueous solubility of pharmaceutically active agents comprising a micellar or amorphous complex of a phosphocholine surfactant and the agent. The pharmaceutically active agent may include but not limited to, antibiotics, antifungal, antiviral, antineoplastic drugs, analgesics, and anesthetics. The most preferred agents are those which are insoluble or poorly soluble and administered intravascularly, such as etoposide, paclitaxel, propofol, and cyclosporin.

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☐ 1. Document ID: US 6489369 B1

Using default format because multiple data bases are involved.

L2: Entry 1 of 7 File: USPT Dec 3, 2002

US-PAT-NO: 6489369
DOCUMENT-IDENTIFIER: US 6489369 B1

TITLE: Phosphocholine surfactants and their use

DATE-ISSUED: December 3, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Morimoto; Bruce H.	Redwood City	CA		
Barker; Peter L.	Pleasanton	CA		
Hernandez; Vincent	Brookdale	CA		
Piper; Cass K.	Redwood Shores	CA		

US-CL-CURRENT: 516/170; 514/171, 514/182, 514/77, 514/78

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. D

☐ 2. Document ID: US 6482850 B2

L2: Entry 2 of 7 File: USPT Nov 19, 2002

US-PAT-NO: 6482850
DOCUMENT-IDENTIFIER: US 6482850 B2

TITLE: Hydrolysis-promoting hydrophobic taxane derivatives

DATE-ISSUED: November 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ali; Shaukat	Monmouth Junction	NJ		
Franklin; J. Craig	Skillman	NJ		
Ahmad; Imran	Cranbury	NJ		
Mayhew; Eric	Monmouth Junction	NJ		

Bhattacharya; Soumendu	Plainsboro	NJ
Koehane; Gil	Piscataway	NJ
Janoff; Andrew S.	Yardley	PA

US-CL-CURRENT: 514/449; 549/510, 549/511

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. D

☐ 3. Document ID: US 6392063 B1

L2: Entry 3 of 7 File: USPT May 21, 2002

US-PAT-NO: 6392063
DOCUMENT-IDENTIFIER: US 6392063 B1

TITLE: Hydrolysis-promoting hydrophobic taxane derivatives

DATE-ISSUED: May 21, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ali; Shaukat	Monmouth Junction	NJ		
Franklin; J. Craig	Skillman	NJ		
Ahmad; Imran	Cranbury	NJ		
Mayhew; Eric	Monmouth Junction	NJ		
Bhattacharya; Soumendu	Plainsboro	NJ		
Koehane; Gil	Piscataway	NJ		
Janoff; Andrew S.	Yardley	PA		

US-CL-CURRENT: 549/510; 549/511

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw. D

☐ 4. Document ID: US 6107332 A

L2: Entry 4 of 7 File: USPT Aug 22, 2000

US-PAT-NO: 6107332
DOCUMENT-IDENTIFIER: US 6107332 A
** See image for Certificate of Correction **

TITLE: Hydrolysis-promoting hydrophobic taxane derivatives

DATE-ISSUED: August 22, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ali; Shaukat	Monmouth Junction	NJ		
Franklin; J. Craig	Skillman	NJ		

Ahmad; Imran	Cranbury	NJ
Mayhew; Eric	Monmouth Junction	NJ
Bhattacharya; Soumendu	Plainsboro	NJ
Koehane; Gil	Piscataway	NJ
Janoff; Andrew S.	Yardley	PA

US-CL-CURRENT: 514/449; 510/510, 510/511

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De

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☐ 5. Document ID: US 5534499 A

L2: Entry 5 of 7 File: USPT Jul 9, 1996

US-PAT-NO: 5534499
DOCUMENT-IDENTIFIER: US 5534499 A

TITLE: Lipophilic drug derivatives for use in liposomes

DATE-ISSUED: July 9, 1996

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ansell; Steve	Vancouver			CA

US-CL-CURRENT: 514/25; 424/1.21, 424/450, 514/2, 514/34, 514/449, 514/463, 536/17.2, 536/18.1, 536/4.1, 536/6.4, 549/432 , 549/510

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De

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☐ 6. Document ID: JP 2002537243 W, WO 200048572 A1, AU 200030008 A, EP 1161226 A1

L2: Entry 6 of 7 File: DWPI Nov 5, 2002

DERWENT-ACC-NO: 2000-549228
DERWENT-WEEK: 200304
COPYRIGHT 2004 DERWENT INFORMATION LTD
TITLE: Improving solubility of therapeutic agents, e.g. propofol or paclitaxel, by insertion of a linker with at least one prim. alcohol group between a phosphocholine (congener) and the therapeutic agent

INVENTOR: BARKER, P L; MORIMOTO, B H

PRIORITY-DATA: 1999US-120483P (February 18, 1999)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 2002537243 W	November 5, 2002		028	A61K031/56
WO 200048572 A1	August 24, 2000	E	025	A61K009/127

AU 200030008 A	September 4, 2000		000	A61K009/127
EP 1161226 A1	December 12, 2001	E	000	A61K009/127

INT-CL (IPC): A61 K 9/02; A61 K 9/08; A61 K 9/10; A61 K 9/127; A61 K 9/20; A61 K 9/48; A61 K 31/05; A61 K 31/56; A61 K 31/665; A61 K 31/675; A61 K 31/685; A61 K 45/00; A61 K 47/48; A61 P 23/00; A61 P 25/20; A61 P 43/00 ; C07 D 259/00; C07 D 487/22; C07 F 9/02; C07 F 9/09

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De

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☐ 7. Document ID: US 20030198663 A1, DE 19735776 A1, WO 9909037 A1, AU 9892632 A, EP 1019417 A1, JP 2001515082 W, US 6344576 B1, EP 1019417 B1, DE 59805997 G, ES 2181269 T3, US 6545169 B1

L2: Entry 7 of 7 File: DWPI Oct 23, 2003

DERWENT-ACC-NO: 1999-154823
DERWENT-WEEK: 200370
COPYRIGHT 2004 DERWENT INFORMATION LTD
TITLE: New neutral or cationic phospholipid analogues - useful for forming liposomes having variable half-life in serum, or as drug solubilisers or antitumour or antiprotozoal agents

INVENTOR: EIBL, H

PRIORITY-DATA: 1997DE-1035776 (August 18, 1997)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 20030198663 A1	October 23, 2003		000	A61K009/127
DE 19735776 A1	February 25, 1999		025	C07F009/10
WO 9909037 A1	February 25, 1999	G	000	C07F009/10
AU 9892632 A	March 8, 1999		000	C07F009/10
EP 1019417 A1	July 19, 2000	G	000	C07F009/10
JP 2001515082 W	September 18, 2001		072	C07F009/10
US 6344576 B1	February 5, 2002		000	C07F009/02
EP 1019417 B1	October 16, 2002	G	000	C07F009/10
DE 59805997 G	November 21, 2002		000	C07F009/10
ES 2181269 T3	February 16, 2003		000	C07F009/10
US 6545169 B1	April 8, 2003		000	C07F009/02

INT-CL (IPC): A61 K 9/127; A61 K 9/27; A61 K 31/685; A61 K 48/00; C07 F 9/02; C07 F 9/09; C07 F 9/10; C07 F 9/28; C12 N 15/09; C12 N 15/88

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw De

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